

10/531237

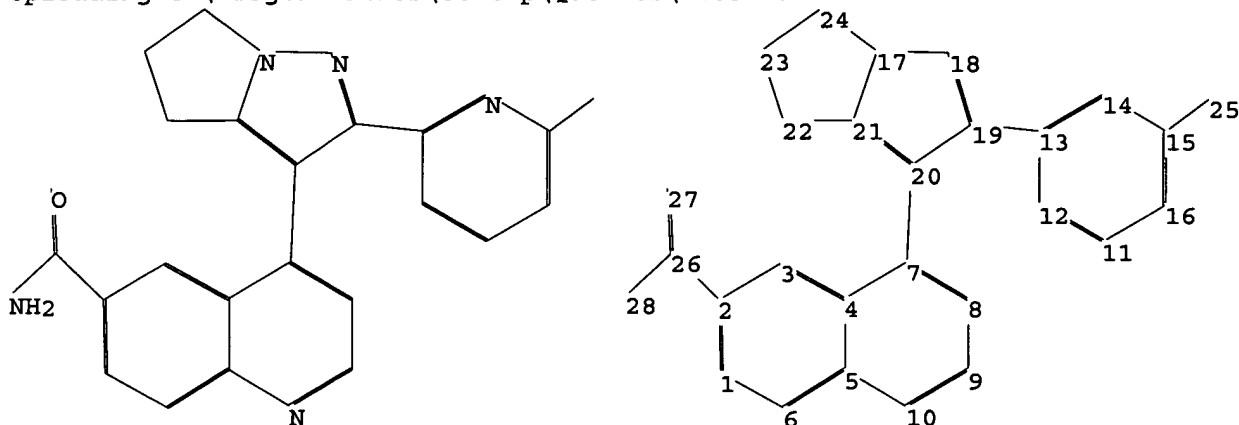
* * * * * STN Columbus * * * * *

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chain nodes :

25 26 27 28

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24

chain bonds :

2-26 7-20 13-19 15-25 26-27 26-28

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 17-18 17-21 17-24 18-19 19-20 20-21 21-22 22-23 23-24

exact/norm bonds :

17-18 17-21 17-24 18-19 19-20 20-21 21-22 22-23 23-24 26-27 26-28

exact bonds :

2-26 7-20 13-19 15-25

normalized bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16

isolated ring systems :

containing 1 : 11 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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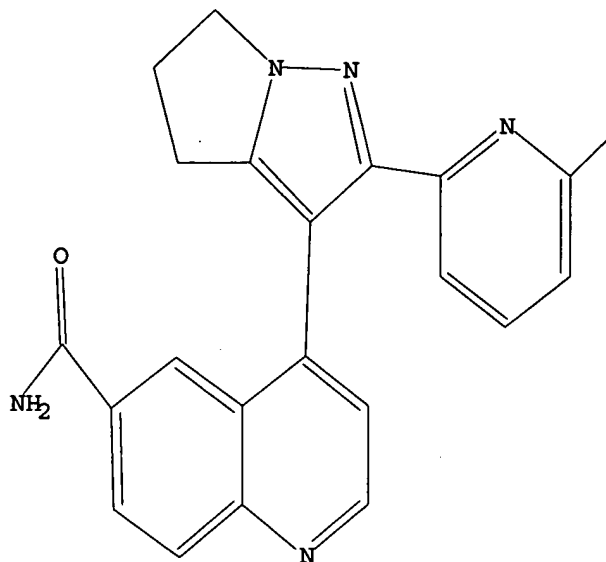
L1 STRUCTURE UPLOADED

10/531237

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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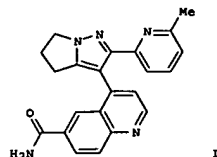
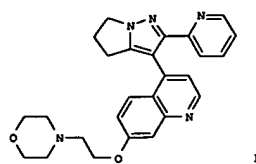
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10/531237

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141.23527 CA
 TITLE: Preparation of quinolinyl pyrrolopyrazoles as
 TGF- β signal transduction inhibitors
 INVENTOR(S): Beight, Douglas Wade; Sawyer, Jason Scott; Yingling,
 Jonathan Michael
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048382	A1	20040610	WO 2003-US32747	20031110
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
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CA 2501322	AA	20040610	CA 2003-2501322	20031110
AU 2003291643	A1	20040618	AU 2003-291643	20031110
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EP 1565471	A1	20050824	EP 2003-768531	20031110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006040983	A1	20060223	US 2005-531237	20050413
NO 2005003045	A	20050621	NO 2005-3045	20050621
PRIORITY APPL. INFO.:				
			US 2002-428893P	P 20021122
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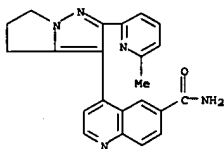
L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. I and II, useful in treating cancer in a patient, were prepared E.g., a multi-step synthesis of II, starting from 6-bromo-4-methylquinoline and Me 6-methylpyridine-2-carboxylate (preps. given), was given. The compds. I and II inhibit the TGF- β type I receptor kinase domain with IC50 of <20 μ M, while exhibiting less toxicity in vivo than structurally related compds. as disclosed in PCT/US02/11884. The pharmaceutical composition comprising the compound

II is claimed.
 IT 700874-72-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USRS (Uses)
 (preparation of quinolinyl pyrrolopyrazoles as TGF- β signal transduction inhibitors)
 RN 700874-72-2 CA
 CN 6-Quinolincarboxamide, 4-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

10/531237

=> file marpat

=> s l1 full

FULL SEARCH INITIATED 14:21:44 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

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10/531237

L5 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:4598 MARPAT

TITLE: Preparation of substituted

5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF- β signal transduction inhibitors

INVENTOR(S): Sawyer, Jason Scott; Beight, Douglas Wade; Ciapetti, Paola; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Goodson, Theodore, Jr.; Herron, David Kent;

Li,

Hong-ya; Liao, Junkai; Mcmillen, William Thomas; Miller, Shawn Christopher; Mort, Nicolas Anthony; Yingling, Jonathan Michael; Smith, Edward C. R. Eli Lilly and Company, USA; et al.

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

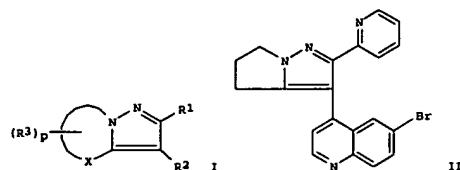
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094833	A1	20021128	WO 2002-US11884	20020513
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CA 2446820	AA	20021128	CA 2002-2446820	20020513
EP 1397364	A1	20040317	EP 2002-744115	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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CN 1511157	A	20040707	CN 2002-810508	20020513
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NZ 528525	A	20051028	NZ 2002-528525	20020513
ZA 2003008546	A	20050131	ZA 2003-8546	20031031
US 2004106604	A1	20040603	US 2003-477111	20031106
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WO 2002-US11884 20020513				

PRIORITY APPLN. INFO.:

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L5 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

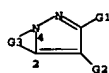


AB Title compds. I [R1 = Ph, pyridine, pyridine-N-oxide, quinoline, naphthyridine, etc.; R2 = quinoline, quinoline-N-oxide, naphthalene, pyridine, pyridine-N-oxide, quinoxaline, etc.; p = 1-8; R3 = H, alkyl, alkylhydroxy, hydroxy, dialkylamino, etc.; X = C, O, S] were prepared

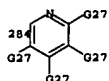
For

instance, 1-[[2-(6-Bromoquinolin-4-yl)-1-(pyridin-2-yl)ethylidene]amino]pyrrolidin-2-one (preparation given) was treated with NaH in DMF at 80-85° for 18 h to afford II in 54% yield. Selected compds. of the invention had IC50 < 20.00 μ M for the TGF- β type I receptor.

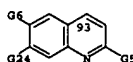
NOTE 1



G1 = 284

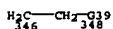


G2 = 93



L5 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G3 = 346-4 348-2



G6 = CONH2

G37 = alkoxy carbonyl <containing 1-6 C>

G39 = C(O)

Patent location:

Note:

Note:

claim 1

or N-oxides

and pharmaceutically acceptable salts, esters and

prodrugs

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

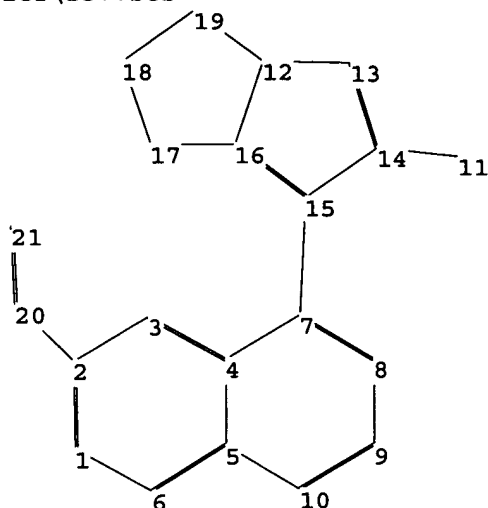
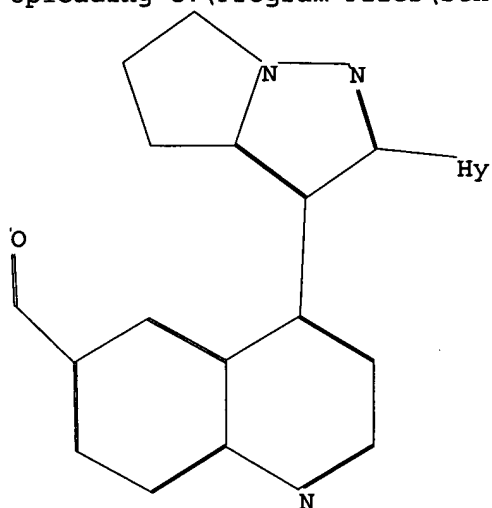
FORMAT

10/531237

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chain nodes :

11 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 18 19

chain bonds :

2-20 7-15 11-14 20-21

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 12-13 12-16 12-19 13-14
14-15 15-16 16-17 17-18 18-19

exact/norm bonds :

11-14 12-13 12-16 12-19 13-14 14-15 15-16 16-17 17-18 18-19 20-21

exact bonds :

2-20 7-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10

isolated ring systems :

containing 1 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

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20:CLASS 21:CLASS

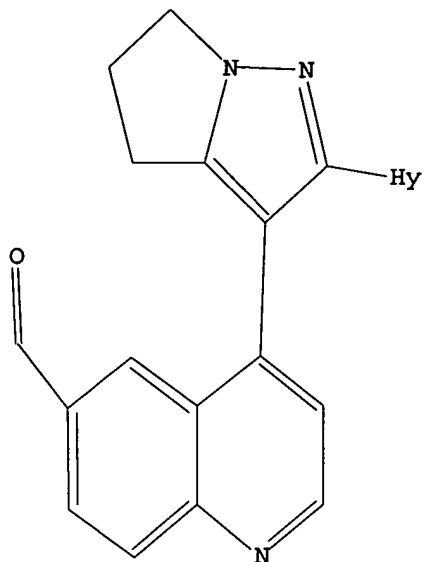
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L6 HAS NO ANSWERS

L6 STR

10/531237



Structure attributes must be viewed using STN Express query preparation.

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=> file ca
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L9      2 L8
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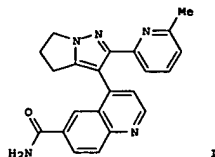
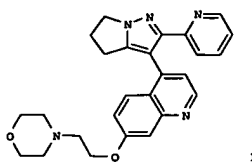
10/531237

L9 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141:23527 CA
 TITLE: Preparation of quinolinyl pyrrolopyrazoles as
 TGF- β signal transduction inhibitors
 INVENTOR(S): Beight, Douglas Wade; Sawyer, Jason Scott; Yingling,
 Jonathan Michael
 PATENT ASSIGNER(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048382	A1	20040610	WO 2003-US32747	20031110
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
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AU 2003291643	A1	20040618	AU 2003-291643	20031110
BR 2003015337	A	20050816	BR 2003-15337	20031110
EP 1565471	A1	20050824	EP 2003-768531	20031110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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NO 2005003045	A	20050621	NO 2005-3045	20050621
PRIORITY APPL. INFO.:			US 2002-428893P	P 20021122
			WO 2003-US32747	W 20031110

GI

L9 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)

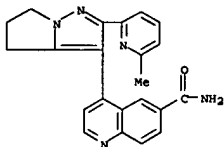


AB The title compds. I and II, useful in treating cancer in a patient, were prepared E.g., a multi-step synthesis of II, starting from 6-bromo-4-methylquinoline and Me 6-methylpyridine-2-carboxylate (prepn. given), was given. The compds. I and II inhibit the TGF- β type I receptor kinase domain with IC50 of <20 μ M, while exhibiting less toxicity in vivo than structurally related compds. as disclosed in PCT/US02/11884. The pharmaceutical composition comprising the compound II is claimed.

IT 700874-72-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinolinyl pyrrolopyrazoles as TGF- β signal transduction inhibitors)

RN 700874-72-2 CA
 CN 6-Quinolinecarboxamide, 4-[5,6-dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



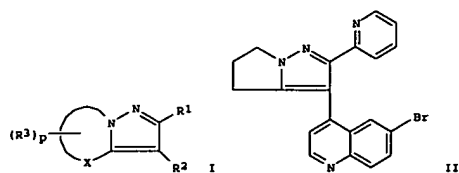
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L9 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 138:4598 CA
 TITLE: Preparation of substituted
 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF- β signal transduction inhibitors
 INVENTOR(S): Sawyer, Jason Scott; Beight, Douglas Wade; Ciapetti, Paola; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Goodson, Theodore, Jr.; Herron, David Kent;
 Li, Hong-yu; Liao, Junkai; Mcmillen, William Thomas; Miller, Shawn Christopher; Mort, Nicolas Anthony; Yingling, Jonathan Michael; Smith, Edward C. R.
 PATENT ASSIGNER(S): Eli Lilly and Company, USA; et al.
 SOURCE: PCT Int. Appl., 305 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094833	A1	20021128	WO 2002-US11884	20020513
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446820	AA	20021128	CA 2002-2446820	20020513
EP 1397364	A1	20040317	EP 2002-744115	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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CN 1511157	A	20040707	CN 2002-810508	20020513
JP 2004535404	T2	20041125	JP 2002-591506	20020513
NZ 528525	A	20051028	NZ 2002-528525	20020513
ZA 2003008546	A	20050131	ZA 2003-8546	20031031
US 2004106604	A1	20040603	US 2003-477111	20031106
NO 2003005193	A	20031121	NO 2003-5193	20031121
PRIORITY APPL. INFO.:			US 2001-293464P	P 20010524
			WO 2002-US11884	W 20020513

OTHER SOURCE(S): MARPAT 138:4598
 GI



AB Title compds. I [R1 = Ph, pyridine, pyridine-N-oxide, quinoline, naphthyridine, etc.; R2 = quinoline, quinoline-N-oxide, naphthalene, pyridine, pyridine-N-oxide, quinazoline, etc.; p = 1-8; R3 = H, alkyl, alkylhydroxy, hydroxy, dialkylamino, etc.; X = C, O, S] were prepared

For instance, 1-([2-(6-bromoquinolin-4-yl)-1-(pyridin-2-yl)ethylideneamino]pyrrolidin-2-one (preparation given) was treated with NaH

in DMP at 80-85° for 18 h to afford II in 54% yield. Selected compds. of the invention had IC50 < 20.00 µM for the TGF-β type I receptor.

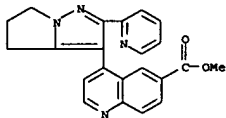
IT 476475-43-1P, 4-(2-(Pyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl)quinoline-6-carboxylic acid methyl ester
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (hetero)aromatic substituted 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β signal transduction inhibitors)

RN 476475-43-1 CA

CN 6-Quinolinecarboxylic acid,

4-[5,6-dihydro-2-(2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

10/531237

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L10 1 SEA SSS FUL L6

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10/531237

L10 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

138:4598 MARPAT

TITLE:

Preparation of substituted

5,6-dihydro-4H-pyrrolo[1,2-

b]pyrazoles as TGF- β signal transduction

inhibitors

INVENTOR(S):

Sawyer, Jason Scott; Beight, Douglas Wade; Ciapetti, Paola; Decollo, Todd Vincent; Godfrey, Alexander Glenn; Goodson, Theodore, Jr.; Herron, David Kent;

Li,

Hong-yu; Liao, Junkai; Mcmillen, William Thomas; Miller, Shawn Christopher; Mort, Nicolas Anthony; Yingling, Jonathan Michael; Smith, Edward C. R. Eli Lilly and Company, USA; et al.

PATENT ASSIGNEE(S):

PCT Int. Appl., 305 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

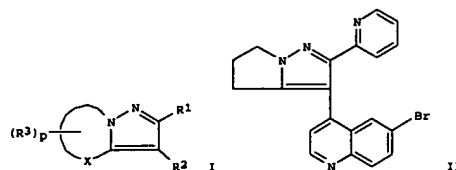
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094833	A1	20021128	WO 2002-US11884	20020513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NS, SN, TD, TG				
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EP 1397364	A1	20040317	EP 2002-744115	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR				
BR 2002099939	A	20040330	BR 2002-9939	20020513
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PRIORITY APPL. INFO.: US 2001-293464P 20010524				
WO 2002-US11884 20020513				

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L10 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN

(Continued)



AB Title compds. I (R1 = Ph, pyridine, pyridine-N-oxide, quinoline, naphthyridine, etc.; R2 = quinoline, quinoline-N-oxide, naphthalene, pyridine, pyridine-N-oxide, quinoxaline, etc.; p = 1-8; R3 = H, alkyl, alkylhydroxy, hydroxy, dialkylamino, etc.; X = C, O, S) were prepared

For

instance, 1-[(2-(6-Bromoquinolin-4-yl)-1-(pyridin-2-yl)ethylidene)amino]pyrrolidin-2-one (preparation given) was treated with NaH in DMF at 80-85° for 18 h to afford II in 54% yield. Selected compds. of the invention had IC50 < 20.00 μ M for the TGF- β type I receptor.

REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

Db1 Pat 10/477111

10/531237

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(FILE 'HOME' ENTERED AT 14:20:55 ON 08 MAR 2006)

FILE 'REGISTRY' ENTERED AT 14:21:00 ON 08 MAR 2006

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 1 S L1 FULL

FILE 'CA' ENTERED AT 14:21:24 ON 08 MAR 2006

L4 1 S L3

FILE 'MARPAT' ENTERED AT 14:21:40 ON 08 MAR 2006

L5 1 S L1 FULL

FILE 'REGISTRY' ENTERED AT 14:22:01 ON 08 MAR 2006

L6 STRUCTURE UPLOADED

L7 0 S L6 SAM

L8 14 S L6 FULL

FILE 'CA' ENTERED AT 14:23:10 ON 08 MAR 2006

L9 2 S L8

FILE 'MARPAT' ENTERED AT 14:23:53 ON 08 MAR 2006

L10 1 S L6 FULL

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STN INTERNATIONAL LOGOFF AT 14:24:46 ON 08 MAR 2006